

AstraZeneca Pharmaceuticals LP

Docket No. Z70402-1/US

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## IN THE UNITED STATES PATENT &amp; TRADEMARK OFFICE

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Application of:	BERNSTEIN ET AL.	
Application Number:	Not assigned yet	Group Art Unit: Not assigned yet
Filed:	30 March 2001	Examiner: Not assigned yet

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For: **NAPHTHALENECARBOXAMIDES AS TACHYKININ RECEPTOR  
ANTAGONISTS**

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Box Patent Application  
Assistant Commissioner For Patents  
Washington, DC 20231

## PRELIMINARY AMENDMENT

Sir:

This is a U.S. National Stage Application of PCT/GB99/03274 . Prior to a first Office Action, please amend the above-identified patent application as follows:

**In the Claims**

Please CANCEL Claims 8-11.

Please AMEND Claim 7 as follows:

7. (Amended) A compound according to Claim 2 wherein:

R<sup>3</sup> is hydrogen, methyl or ethyl;R<sup>4</sup> is C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkyl, halogen, haloC<sub>1-2</sub>alkoxy, haloC<sub>1-4</sub>alkyl, -CH=CHCH<sub>3</sub>,-S(O)<sub>n</sub>CH<sub>3</sub>, or -OS(O)<sub>2</sub>CH<sub>3</sub>;R<sup>5</sup> is cyano, nitrogen, hydrogen or halogen;R<sup>6</sup> is hydrogen, methoxy, cyano or nitro; and

n is 0, 1 or 2.

Please add NEW Claims 12-17.

12. (New) A compound according to Claim 7 wherein:

R<sup>3</sup> is hydrogen, methyl or ethyl;R<sup>4</sup> is methyl, ethyl, methoxy, ethoxy, hydroxy or fluoro;

$R^5$  is cyano or nitro; and

$R^6$  is hydrogen.

13. (New) A compound according to Claim 3, wherein:

$R^3$  is hydrogen, methyl or ethyl;

$R^4$  is  $C_{1-4}$ alkoxy,  $C_{1-4}$ alkyl, halogen, halo $C_{1-2}$ alkoxy, halo $C_{1-4}$ alkyl,  $-CH=CHCH_3$ ,  $-S(O)_nCH_3$ , or  $-OS(O)_2CH_3$ ;

$R^5$  is cyano, nitrogen, hydrogen or halogen;

$R^6$  is hydrogen, methoxy, cyano or nitro; and

n is 0, 1 or 2.

14. (New) A compound according to Claim 9 wherein:

$R^3$  is hydrogen, methyl or ethyl;

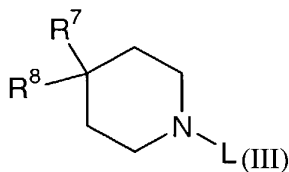
$R^4$  is methyl, ethyl, methoxy, ethoxy, hydroxy or fluoro;

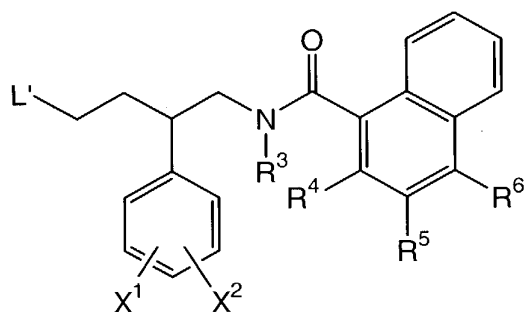
$R^5$  is cyano or nitro; and

$R^6$  is hydrogen.

15. (New) A process for preparing a compound according to Claim 3 which process comprises the step of:

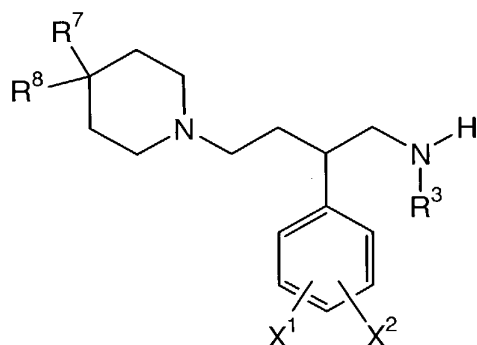
reacting a compound of the formula (III) with a compound of the formula (IV) under reductive amination conditions:



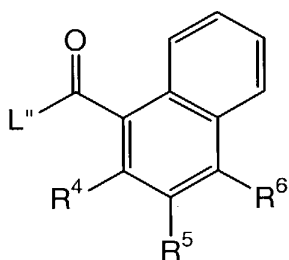


(IV)

wherein R<sup>3</sup> through R<sup>8</sup>, X<sup>1</sup> and X<sup>2</sup> are as in Claim 3; and L and L' are groups such that reductive amination of the compounds of the formulae (III) and (IV) forms a N-C bond; or reacting a compound of the formula (V) with a compound of the formula (VI):



(V)



(VI)

wherein R<sup>3</sup> through R<sup>8</sup>, X<sup>1</sup> and X<sup>2</sup> are as defined in Claim 3; and L'' is a leaving group.

16. (New) A pharmaceutical composition comprising a compound according to any one of Claims 1-8 and 12-14.

17. (New) A method of treating depression, anxiety, asthma, rheumatoid arthritis, Alzheimer's disease, cancer, schizophrenia, oedema, allergic rhinitis, inflammation, pain, gastrointestinal-hypermotility, anxiety, emesis, Huntington's disease, psychoses including depression, hypertension, migraine, bladder hypermotility, or urticaria comprising administering an effective amount of an NK1 antagonist according to any one of Claims 1-8 and 12-14.